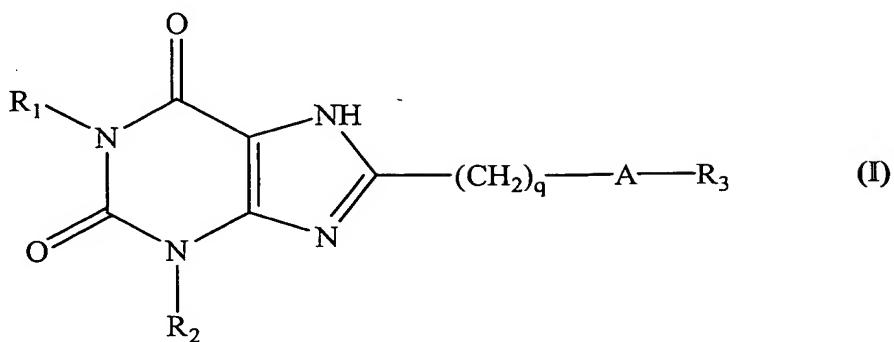


**THAT WHICH IS CLAIMED IS:**

1. A compound of formula (I):



wherein:

A is a 5- or 6-membered aromatic or heteroaromatic ring containing 0 to 4 heteroatoms selected from the group consisting of N, O, and S;

R<sub>1</sub> or R<sub>2</sub> is of the formula (i):



wherein:

A' is a 5- or 6-membered aromatic or heteroaromatic ring containing 0 to 4 heteroatoms selected from the group consisting of N, O, and S;

r is an integer ranging from 1 to 20;

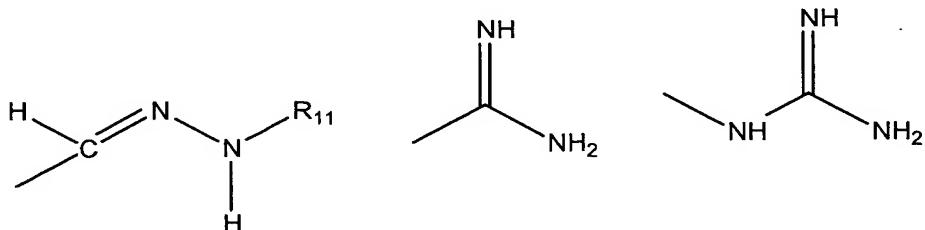
R<sub>4</sub> is selected from the group consisting of H; NH<sub>2</sub>; (CH<sub>2</sub>)<sub>s</sub>OH, wherein s is an integer ranging from 1 to 8; R<sub>14</sub>COOH, wherein R<sub>14</sub> is an alkyl or alkylidene group having 1 to 8 carbon atoms, halo, NHR<sub>8</sub>, NR<sub>8</sub>R<sub>9</sub>, NHCOR<sub>8</sub>, NR<sub>8</sub>COR<sub>9</sub>, SO<sub>3</sub>H and PO<sub>3</sub>H<sub>2</sub>;

R<sub>3</sub> is selected from the group consisting of H, NH<sub>2</sub>, R<sub>15</sub>COOH, wherein R<sub>15</sub> is an alkyl or alkylidene group having 1 to 8 carbon atoms, and (CH<sub>2</sub>)<sub>t</sub>OH, wherein t is an integer ranging from 1 to 8;

integer ranging from 1 to 8; halo,  $\text{NHR}_8$ ,  $\text{NR}_8\text{R}_9$ ,  $\text{NHCOR}_8$ ,  $\text{NR}_8\text{COR}_9$ ,  $\text{SO}_3\text{H}$  and  $\text{PO}_3\text{H}_2$ ;

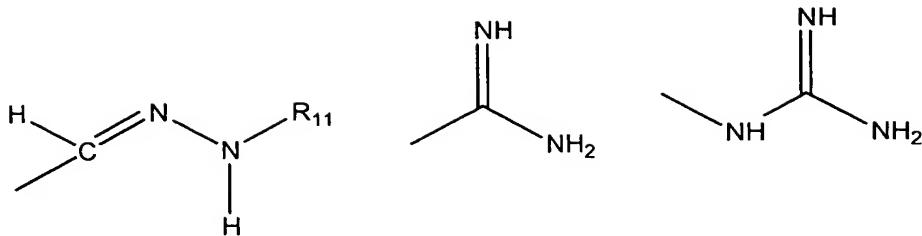
q is an integer ranging from 1 to 8;

or  $\text{R}_1$  is a  $\text{C}_1\text{-C}_8$  alkanyl group,  $\text{C}_2\text{-C}_8$ -alkenyl- or  $\text{C}_2\text{-C}_8$ -alkynyl- group which is optionally substituted by -CN,  $-\text{CH}_2\text{NR}_6\text{R}_7\text{OH}$ ,  $-\text{OR}_8$ ,  $-\text{NR}_6\text{R}_7$ ,  $-\text{NHCOR}_8$ ,  $-\text{NHCONR}_6\text{R}_7$ , halogen,  $-\text{OCOR}_8$ ,  $-\text{OCH}_2\text{COOH}$ ,  $-\text{OCH}_2\text{COOR}_8$ ,  $-\text{SO}_2\text{R}_5$ ,  $-\text{S-R}_5$ ,  $-\text{NHCONH}$  phenyl,  $-\text{OCH}_2\text{-CONR}_6\text{R}_7$ ,  $-\text{OCH}_2\text{CH}_2\text{OH}$ ,  $-\text{SO}_2\text{-CH}_2\text{-CH}_2\text{-O-COR}_8$ ,  $-\text{OCH}_2\text{-CH}_2\text{-NR}_6\text{R}_7$ ,  $-\text{SO}_2\text{-CH}_2\text{-CH}_2\text{-OH}$ ,  $-\text{CONHSO}_2\text{R}_8$ ,  $-\text{CH}_2\text{CONHSO}_2\text{R}_8$ ,  $-\text{OCH}_2\text{CH}_2\text{OR}_8$ ,  $-\text{COOH}$ ,  $-\text{COOR}_8$ ,  $-\text{CONR}_6\text{R}_7$ ,  $-\text{CHO}$ ,  $-\text{SR}_8$ ,  $-\text{SOR}_8$ ,  $-\text{SO}_2\text{H}$ ,  $-\text{PO}_3\text{H}_2$ ,  $-\text{SO}_2\text{NR}_6\text{R}_7$ ,  $-\text{OCH}_2\text{-CH}_2\text{OCOR}_8$ ,  $-\text{CH=NOH}$ ,  $-\text{CH=NOR}_8$ ,  $-\text{COR}_9$ ,  $-\text{CH(OH)R}_9$ ,  $-\text{CH}(\text{OR}_8)_2$ ,  $-\text{CH=CH-R}_{10}$ ,  $-\text{OCONR}_6\text{R}_7$ ,



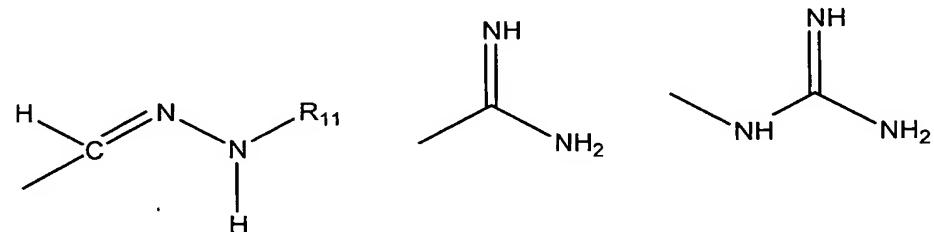
or by 1,3-dioxolane or 1,3-dioxane which is optionally mono- or polysubstituted by methyl; or

denotes phenyl- $\text{C}_1\text{-C}_6$ -alkylene, phenyl- $\text{C}_2\text{-C}_6$ -alkenylene or phenyl- $\text{C}_2\text{-C}_6$ -alkynylene, in which the phenyl ring is optionally substituted, either directly or via a  $\text{C}_1\text{-C}_4$ -alkylene group, with one or more of the following groups:  $-\text{C}_1\text{-C}_3$ -alkyl, -CN,  $-\text{CH}_2\text{NR}_6\text{R}_7$ ,  $-\text{NO}_2$ ,  $-\text{OH}$ ,  $-\text{OR}_8$ ,  $-\text{CH}_2\text{-NH-SO}_2\text{R}_8$ ,  $-\text{NHCOR}_8$ ,  $-\text{NHCONR}_6\text{R}_7$ , halogen,  $-\text{OCOR}_8$ ,  $-\text{OCH}_2\text{COOH}$ ,  $-\text{OCH}_2\text{COOR}_8$ ,  $-\text{CH}_2\text{OCOR}_8$ ,  $-\text{SO}_2\text{R}_5$ ,  $-\text{OCH}_2\text{-CONR}_6\text{R}_7$ ,  $-\text{OCH}_2\text{CH}_2\text{OH}$ ,  $-\text{OCH}_2\text{-CH}_2\text{-NR}_6\text{R}_7$ ,  $-\text{CONHSO}_2\text{R}_8$ ,  $-\text{OCH}_2\text{CH}_2\text{OR}_8$ ,  $-\text{COOH}$ ,  $-\text{COOR}_8$ ,  $-\text{CF}_3$ , cyclopropyl,  $-\text{CONR}_6\text{R}_7$ ,  $-\text{CH}_2\text{OH}$ ,  $-\text{CH}_2\text{OR}_8$ ,  $-\text{CHO}$ ,  $-\text{SR}_8$ ,  $-\text{SOR}_8$ ,  $-\text{SO}_2\text{R}_8$ ,  $-\text{SO}_3\text{H}$ ,  $-\text{PO}_3\text{H}_2$ ,  $-\text{SO}_2\text{NR}_6\text{R}_7$ ,  $-\text{OCH}_2\text{-CH}_2\text{OCOR}_8$ ,  $-\text{CH=NOH}$ ,  $-\text{CH=NOR}_8$ ,  $-\text{COR}_9$ ,  $-\text{CH(OH)R}_9$ ,  $-\text{CH}(\text{OR}_8)_2$ ,  $-\text{NHCOOR}_8$ ,  $-\text{CH}_2\text{CONHSO}_2\text{R}_8$ ,  $-\text{CH=CH-R}_{10}$ ,  $-\text{OCONR}_6\text{R}_7$ ,  $-\text{CH}_2\text{-O-CONR}_6\text{R}_7$ ,  $-\text{CH}_2\text{-CH}_2\text{-O-CONR}_6\text{R}_7$ ,



or by 1,3-dioxolane or 1,3-dioxane which is optionally mono- or polysubstituted by methyl; or

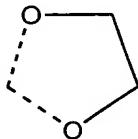
denotes  $C_3$ - $C_7$ -cycloalkyl- $C_1$ - $C_6$ -alkylene-,  $C_3$ - $C_7$ -cycloalkyl- $C_2$ - $C_6$ -alkenylene-,  $C_3$ - $C_7$ -cycloalkyl- $C_2$ - $C_6$ -alkynylene-, in which the cycloalkyl group may optionally be substituted, either directly or via a  $C_1$ - $C_4$ -alkylene group, by -CN, - $CH_2NR_6R_7$ , =O, -OH, -OR<sub>8</sub>, -NR<sub>6</sub>R<sub>7</sub>, -NHCOR<sub>8</sub>, -NHCONR<sub>6</sub>R<sub>7</sub>, halogen, --OCOR<sub>8</sub>, -OCH<sub>2</sub>COOH, -OCH<sub>2</sub>COOR<sub>8</sub>, -CH<sub>2</sub>OCOR<sub>8</sub>, -SO<sub>2</sub>R<sub>5</sub>, -OCH<sub>2</sub>CONR<sub>6</sub>R<sub>7</sub>, -OCH<sub>2</sub>CH<sub>2</sub>OH, -OCH<sub>2</sub>-CH<sub>2</sub>-NR<sub>6</sub>R<sub>7</sub>, -OCH<sub>2</sub>CH<sub>2</sub>OR<sub>8</sub>, -COOH, -COOR<sub>8</sub>, -CONR<sub>6</sub>R<sub>7</sub>, -CH<sub>2</sub>OH, -CH<sub>2</sub>OR<sub>8</sub>, -CHO, -SR<sub>8</sub>, -SOR<sub>8</sub>, -SO<sub>2</sub>R<sub>8</sub>, -SO<sub>3</sub>H, -PO<sub>3</sub>H<sub>2</sub>, -SO<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, -OCH<sub>2</sub>-CH<sub>2</sub>-OCOR<sub>8</sub>, -CH=NOH, -CH=NOR<sub>8</sub>, -COR<sub>9</sub>, -CH(OH)R<sub>9</sub>, -CONHSO<sub>2</sub>R<sub>8</sub>, -CH(OR<sub>8</sub>)<sub>2</sub>, -NHCOOR<sub>8</sub>, -CH=CH-R<sub>10</sub>, -OCONR<sub>6</sub>R<sub>7</sub>, -CH<sub>2</sub>-O-CONR<sub>6</sub>R<sub>7</sub>, -CH<sub>2</sub>-CH<sub>2</sub>-O-CONR<sub>6</sub>R<sub>7</sub>,



or by 1,3-dioxolane or 1,3-dioxane which is optionally mono- or polysubstituted by methyl; or

denotes a group of the formula A- $C_1$ - $C_6$ -alkylene-, A-CONH- $C_1$ - $C_6$ -alkylene-, A-CONH- $C_2$ - $C_6$ -alkenylene-, A-CONH- $C_2$ - $C_6$ -alkynylene-, A-NH-CO- $C_1$ - $C_6$ -alkylene, A-NH-CO- $C_2$ - $C_6$ -alkenylene, A-NH-CO- $C_2$ - $C_6$ -alkynylene, A- $C_2$ - $C_6$ -alkenylene- or A- $C_2$ - $C_6$ -alkynylene, wherein A is a C- or N-linked 5- or 6-membered heterocyclic ring, 5- or 6-membered aromatic ring, or 5- or 6-membered heteroaromatic ring which contains

nitrogen, oxygen or sulphur as heteroatoms and may optionally be mono- or polysubstituted, by C<sub>1</sub>-C<sub>4</sub>-alkyl, halogen, --OR<sub>8</sub>, -CN, --NO<sub>2</sub>, -NH<sub>2</sub>, -CH<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, -OH, =O, a ketal, -COOH, -SO<sub>3</sub>H, -PO<sub>3</sub>H<sub>2</sub>, -COOR<sub>8</sub>, -CONR<sub>6</sub>R<sub>7</sub>, -COR<sub>9</sub>, -SO<sub>2</sub>-R<sub>8</sub>, -CONR<sub>6</sub>R<sub>7</sub> or



R<sub>5</sub> denotes C<sub>1</sub>-C<sub>4</sub>-alkyl, optionally substituted by OH, OCOR<sub>8</sub>, NH<sub>2</sub>, NR<sub>6</sub>R<sub>7</sub> or NHCOR<sub>8</sub>,

R<sub>6</sub> denotes hydrogen, an optionally substituted C<sub>3</sub>-6-cycloalkyl group, a branched or unbranched alkyl-, alkenyl- or alkynyl group having up to 10 carbon atoms, preferably a C<sub>1</sub>-C<sub>4</sub>-alkyl group, which may optionally be substituted by hydroxy, phenyl, substituted phenyl, amino, substituted amino, C<sub>1</sub> to C<sub>8</sub>, or it denotes --(CH<sub>2</sub>)<sub>m</sub>--NHCOOR<sub>8</sub> wherein m=1, 2, 3 or 4;

R<sub>7</sub> denotes hydrogen, an optionally substituted C<sub>3</sub>-6-cycloalkyl group, a branched or unbranched alkyl-, alkenyl- or alkynyl group having up to 10 carbon atoms, which may optionally be substituted by hydroxy, phenyl, substituted phenyl, amino, substituted amino, C<sub>1</sub> to C<sub>8</sub>, or it denotes --(CH<sub>2</sub>)<sub>m</sub>--NHCOOR<sub>8</sub> wherein m=1, 2, 3 or 4; or R<sub>6</sub> and R<sub>7</sub> together with the nitrogen atom form a saturated or unsaturated 5- or 6-membered ring which may contain as heteroatoms nitrogen, oxygen or sulphur, while the heterocyclic ring may be substituted by a branched or unbranched C<sub>1</sub>-4-alkyl group, or may carry one of the following groups: --(CH<sub>2</sub>)<sub>n</sub>-NH<sub>2</sub>, =O, a ketal - preferably -O-CH<sub>2</sub>-CH<sub>2</sub>-O-, -(CH<sub>2</sub>)<sub>n</sub>.NH-C<sub>1</sub>-C<sub>4</sub>-alkyl, -(CH<sub>2</sub>)<sub>n</sub>-N(C<sub>1</sub>-C<sub>8</sub>-alkyl), -(CH<sub>2</sub>)<sub>n</sub>-NHCOOR<sub>8</sub>, (n=2, 3, 4,), halogen, -OR<sub>8</sub>, -CN, -NO<sub>2</sub>, -NH<sub>2</sub>, -CH<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, -OH, -COOH, -SO<sub>3</sub>H, -PO<sub>3</sub>H<sub>2</sub>, -COOR<sub>8</sub>, -CONR<sub>6</sub>R<sub>7</sub>, -SO<sub>2</sub>R<sub>8</sub>,

R<sub>8</sub> denotes hydrogen, C<sub>1</sub>-C<sub>8</sub>-alkyl or C<sub>2</sub>-C<sub>8</sub>-alkenyl or C<sub>2</sub>-C<sub>8</sub>-alkynyl optionally substituted with CO<sub>2</sub>H, a benzyl- or phenyl- group, which is optionally mono- or polysubstituted by OCH<sub>3</sub>;

$R_9$  denotes  $C_1$ - $C_8$ -alkyl or  $C_2$ - $C_8$ -alkenyl or  $C_2$ - $C_8$ -alkynyl optionally substituted with  $CO_2H$ , optionally substituted phenyl, optionally substituted benzyl,  $C_3$ - $C_6$ -cycloalkyl, and

$R_{10}$  denotes  $-COOR_8$ ,  $-CH_2OR_8$ ,  $-CONR_6R_7$ , hydrogen,  $C_1$ - $C_3$ -alkyl, optionally substituted phenyl,  $-CH_2NR_6R_7$ ;

and pharmaceutically acceptable salts, hydrates and prodrugs thereof.

2. The compound of claim 1, wherein at least one of  $R_3$  and  $R_4$  is independently selected from the group consisting of  $SO_3H$  and  $PO_3H_2$ .

3. The compound of claim 1, wherein  $R_1$  or  $R_2$  is a  $C_1$ - $C_8$  alkanyl group,  $C_2$ - $C_8$ -alkenyl group or  $C_2$ - $C_8$  alkynyl group which is optionally substituted by  $NR_6R_7$ ,  $-SO_3H$ , or  $-PO_3H_2$ .

4. The compound of claim 1, wherein A is phenyl.

5. The compound of claim 1, wherein A' is phenyl.

6. The compound of claim 1, wherein:

$R_1$  is a  $C_1$ - $C_8$  alkanyl group,  $C_2$ - $C_8$ -alkenyl group or  $C_2$ - $C_8$  alkynyl group which is optionally substituted by  $NR_6R_7$  or  $-SO_3H$ ;

A is phenyl; and

A' is phenyl.

7. The compound of claim 6, wherein at least one of  $R_3$  and  $R_4$  is independently selected from the group consisting of  $SO_3H$  and  $PO_3H_2$ .

8. The compound of claim 1, wherein said compound is selected from the group consisting of:

3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-propylxanthine;

3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-[(3-pyridyl)methyl]xanthine;  
3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-[(4-thiazolyl)methyl]xanthine;  
3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-(4-sulfoxybenzyl)xanthine;  
3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(3-methoxypropyl)xanthine;  
3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(3-dimethylamino)propylxanthine;  
3-[2-[4-(6-Aminohexanoyl)aminophenyl]ethyl]-8-benzyl-1-propylxanthine;  
8-Benzyl-1-propyl-3-[4-(4-sulfoxyphenyl)butyl]xanthine;  
8-Benzyl-1-propyl-3-[2-(4-sulfoxyphenyl)ethyl]xanthine;  
3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(3-sulfoxypropyl)xanthine;  
and pharmaceutically acceptable salts, hydrates and prodrugs thereof.

9. The compound of claim 1, wherein said compound is selected from the group consisting of:

8-Benzyl-1-propyl-3-[4-(4-sulfoxyphenyl)butyl]xanthine;  
8-Benzyl-1-propyl-3-[2-(4-sulfoxyphenyl)ethyl]xanthine;  
3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(3-sulfoxypropyl)xanthine;  
3-[2-(4-Aminophenyl)ethyl]-8-(4-fluorobenzyl)-1-propylxanthine;  
3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-[(thiophen-2-yl)methyl]xanthine;  
3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-[(1*H*-tetrazol-5-yl)methyl]xanthine;  
8-(2-Acetaminobenzyl)-3-[2-(4-aminophenyl)ethyl]-1-propylxanthine;  
8-(2-Aminobenzyl)-3-(2-phenylethyl)-1-propylxanthine;  
8-Benzyl-3-[2-(3-carboxyphenyl)ethyl]-1-propylxanthine;  
3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(8-sulfoxyoctyl)xanthine;  
3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(5-sulfooxypentyl)xanthine;  
and pharmaceutically acceptable salts, hydrates and prodrugs thereof.

10. The compound of claim 1, wherein said compound is selected from the group consisting of:

3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-propylxanthine;  
3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-[(3-pyridyl)methyl]xanthine;

3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-(4-sulfonyoxybenzyl)xanthine;  
3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(3-methoxypropyl)xanthine;  
3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(3-dimethylamino)propylxanthine;  
3-[2-[4-(6-Aminohexanoyl)aminophenyl]ethyl]-8-benzyl-1-propylxanthine;  
and pharmaceutically acceptable salts, hydrates and prodrugs thereof.

11. The compound of claim 1, wherein said compound is selected from the group consisting of:

3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(5-sulfonyxpentyl)xanthine;  
and pharmaceutically acceptable salts, hydrates and prodrugs thereof.

12. A composition comprising a compound of claim 1 in a pharmaceutically acceptable carrier.